CLAIMS

What is claimed is:

1. A method of selectively acylating a compound comprising at least a first and second secondary hydroxyl groups, the method comprising the steps of

(a) providing a solution of the compound in a solvent; and

(b) contacting the solution with a hindered base and an acylating agent thereby to selectively acylate the first or secondary hydroxyl group.

2. The method of claim 1 wherein the compound is a taxane molecule.

3. The method of claim 1, wherein the acylating agent is an acid halide.

4. The method of claim 1, wherein the acid halide is an acid chloride.

5. The method of claim 1 wherein the acid halide is selected from the group consisting of benzoyl halide, tigloyl halide, hexanoyl halide, butyryl halide, 2-methylbutyryl halide, phenylacetyl halide, furoyl halide, and *tert*-butyl haloformate. .

6. The method of claim 1 wherein the hindered base is a pyridine derivative or a trialkylamine.

7. The method of claim 5, wherein the trialkylamine is N-ethyldicyclohexylamine or N,N-diisopropylethylamine.

8. The method of claim 4 wherein the pyridine derivative is selected from the group consisting of 2,6-lutidine, and 2,4,6-collidine.

9. A method of selectively acylating a hydroxyl group located at a C-2' position of a taxane molecule having an unprotected hydroxyl group located at a C-7 position, the method comprising the steps of:

(a) providing a solution comprising a taxane molecule in an organic solvent; and

(b) contacting the solution with a hindered base and an acylating agent hereby to selectively acylate the hydroxyl group located at the C-2' position.

10. The method of claim 9, wherein the acylating agent is an acid halide.

11. The method of claim 9 wherein the acid halide is an acid chloride.

12. The method of claim 11 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*-butyl chloroformate.

13. The method of claim 12 wherein the acid chloride is benzoyl chloride.

14. The method of claim 12 wherein the acid chloride is tigloyl chloride.

15. The method of claim 11 wherein the hindered base is a pyridine derivative or a trialkylamine.

16. The method of claim 15 wherein the pyridine derivative is selected from the group consisting of 2, 6-lutidine, and 2, 4, 6-collidine.

17. The method of claim 15 wherein the trialkylamine is *N*-ethyldicyclohexylamine or *N,N*-diisopropylethylamine.

18. The method of claim 9 wherein the organic solvent is tetrahydrofuran.

19. The method of claim 9 wherein the organic solvent solubilizes the taxane molecule at a concentration of at least about 15% by weight.

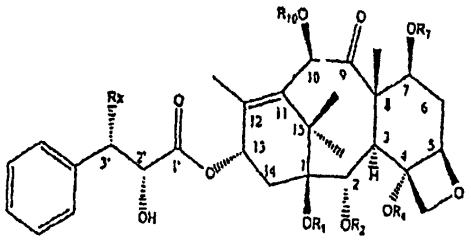
20. The method of claim 9 wherein selective acylation occurs in about 6 hours or less.

21. The method of claim 9 wherein selective acylation occurs at a temperature of about 40°C or less.

22. The method of claim 9 wherein selective acylation occurs at about ambient temperature.

23. The method of claim 9 wherein each of the hindered base and the acid halide are present in an amount greater than or equal to about 4 equivalents of the taxane molecule.

24. The method of claim 9, wherein the taxane molecule has the formula:



wherein

R_1 is hydrogen;

R_2 is hydrogen, an acyl group or a hydroxyl protecting group;

R_4 is an acetate group;

R_7 is hydrogen, an alkyl group, an aryl group, an ester group, an ether group, a glycoside group, an oxo- group, or a hydroxyl protecting group;

R_{10} is hydrogen; and

Rx is an amino group, a salt of an amino group, or an amino group that is protected with an amino protecting group.

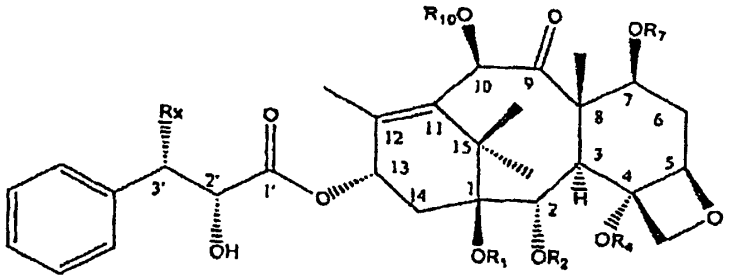
25. The method of claim 24 wherein Rx is N=CHRc or -NHC(O)R_n, wherein Rc is an alkyl group, an aryl group, an arylalkyl group, an vinyl group, or an ether group; and R_n is an alkyl group, an aryl group, an arytalkyl group, a vinyl group, or an ether group.

26. The method of claim 25 wherein Rc is selected from the group consisting of phenyl, 1-methyl-l-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, and 2-furanyl.

27. The method of claim 25 wherein RN is selected from the group consisting of phenyl; l-methyl-l-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

28. A method of selectively acylating a taxane molecule, the method comprising the steps of

(a) providing a solution of tetrahydrofuran and a taxane molecule having the formula:



wherein

R_1 is hydrogen;

R_2 is a benzoyl group;

R4 is an acetate group;

R_7 is hydrogen;

R_{10} is hydrogen or an acetate group; and

Rx is N=CHRc or -NHC(O)R_N, wherein Rc is an alkyl group, an aryl group, an arylalkyl group, an vinyl group, or an ether group; and R_n is an alkyl group, an aryl group, an arylalkyl group, a vinyl group, or an ether group; and

(b) adding 2,6-lutidine or *N* ethyldicyclohexylamine and an acid chloride to the solution thereby to selectively acylate the hydroxyl group located at the C-2' position.

29. The method of claim 28 wherein R_{10} is hydrogen.

30. The method of claim 28 wherein R_{10} is an acetate group.

31. The method of claim 29 wherein Rx is N=CHRc, and Rc is selected from the group consisting of phenyl, 1-methyl-l-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl; 2-furanyl, and *tert*-butoxy.

32. The method of claim 29 wherein Rx is –NHC(O)R_n, and R_n is selected from the group consisting of phenyl, 1-methyl-1-propenyl, n-pentyl, propyl, 1-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

33. The method of claim 30 wherein Rx is –N=CHR_c and R_n is selected from the group consisting of phenyl, l-methyl-l-propenyl, n-pentyl, propyl, l-methyl-propyl, benzyl, 2-furanyl, and *tert*-butoxy.

34. The method of claim 30 wherein Rx is -NHC(O) RN, and RN is selected from the group consisting of phenyl, l-methyl-l-propenyl, n-pentyl, propyl, l-methyl-propyl, benzyl, 2-furanyl, and tert-butoxy.

35. The method of claim 31 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and tert-butyl chloroformate.

36. The method of claim 32 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*-butyl chloroformate.

37. The method of claim 33 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*-butyl chloroformate.

38. The method of claim 34 wherein the acid chloride is selected from the group consisting of benzoyl chloride, tigloyl chloride, hexanoyl chloride, butyryl chloride, 2-methylbutyryl chloride, phenylacetyl chloride, furoyl chloride, and *tert*-butyl chloroformate.

39. The method of claim 28 wherein R_{10} is an acetate group, Rx is -NHC(O) R_n, wherein R_n is phenyl, and the acid chloride is benzoyl chloride.

40. The method of claim 28 wherein R_{10} is an acetate group, Rx is -NHC(O) R_n, wherein R_n is 1-methyl- l-propenyl, and the acid chloride is benzoyl chloride.

41. The method of claim 28 wherein R_{n10} is an acetate group, Rx is -NHC(O) R_n, wherein R_n, wherein R_n is n-pentyl, and the acid chloride is benzoyl chloride.

42. The method of claims 1,9, or 28 further comprising the step of crystallizing the acylated compound with at least one solubilizing solvent and optionally at least one anti-solvent.

43. The method of claim 42, wherein the solvent is a halogenated hydrocarbon.

44. The method of claim 42, wherein the solubilitzing solvent is selected form the group consisting of acetone, methyl tert-butyl ether, triflourotoluene or THF.

45. The method of claim 42, wherein the solubilizing solvent is methylene chloride.

46. The method of claim 42, wherein the solvent is methylene chloride and the antisolvent is hexane.

47. The method of claim 42, wherein the antisolvent is a hydrocarbon alkane.